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FILE 'HOME' ENTERED AT 18:44:15 ON 06 DEC 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:44:28 ON 06 DEC 2006
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STRUCTURE FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5 DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10729837.str

chain nodes :

16 17 27 28 29

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19 20 21 22 23 24 25 26

chain bonds :

2-11 14-16 16-17 17-18 19-28 24-29 25-27

ring bonds :

exact/norm bonds :

22-26 24-25 25-26 25-27

exact bonds :

16-17 17-18 19-28 24-29

normalized bonds :

1-5 1-9 5-6 6-7 7-8 8-9 18-19 18-23 19-20 20-21 21-22 22-23

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS 29:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 18:46:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 141 TO ITERATE

100.0% PROCESSED 141 ITERATIONS

SEARCH TIME: 00.00.01

TERATIONS 46 ANSWERS

L2 46 SEA SSS FUL L1

=> d 12 1-10

ANSWER 1 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 913329-18-7 REGISTRY
Entered STN: 16 Nov 2006
Imidodicarbonimidic diamide, N,N-dimethyl-, monohydrochloride, mixt. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (9CI) (CA INDEX NAME)
C21 H21 Cl N4 O S . C4 H11 N5 . C1 H
MXS
CA CA STN Files: CA, CAPLUS, TOXCENTER, USPATFULL CM 1 CRN 146939-27-7 CMF C21 H21 C1 N4 O S

CRN 1115-70-4 (657-24-9) CMF C4 H11 N5 . C1 H

• HC1

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 3 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 909419-72-3 REGISTRY Entered STN: 03 Oct 2006 Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (IR,4S)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl-6-chloro-1,3-dihydro-2H-indol-2-one (1:1) (9CI) (CA INDEX NAME) STEREOSEARCH C21 H21 C1 N4 O S . C10 H16 O4 S CA STN Files: CA, CAPLUS, USPATFULL

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

CM 1

CM . 2

CRN 35963-20-3 CMF C10 H16 04 S

Absolute stereochemistry. 'Rotation (-).

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 2 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 909419-73-4 REGISTRY Entered STN: 03 Oct 2006 Bicyclo(2.2.1)heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2E-indol-2-one (1:1) [9CI) (CA INDEX NAME) C21 R21 C1 N4 0 S . C10 H16 04 S CA STN Files: CA, CAPLUS, USPATFULL CRN 146939-27-7 CMF C21 H21 C1 N4 O S 2 СM CRN 5872-08-2 CMF C10 H16 O4 S нозs-сн₂

ANSWER 4 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 909419-71-2 REGISTRY
Entered STN: 03 Oct 2006
Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (13,4R)-, compd. with 5-[2-[4-[1,2-benzisothiazol-3-yl]-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-ZH-indol-2-one (1:1) (9CI) (CA INDEX NAME)
STEREOSEARCH
C21 H21 C1 N4 0 S . C10 H16 04 S
CA
STN Files: CA, CAPLUS, USPATFULL

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

CRN 3144-16-9 CMF C10 H16 O4 S

2 CM

Absolute stereochemistry. Rotation (+).

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER S OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 909419-70-1 REGISTRY Entered STN: 03 Oct 2006 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrate (9CI) (CA INDEX NAME) C21 H21 C1 N4 0 S . H2 O CA STN Files: CA, CAPLUS, USPATFULL N (146939-27-7)

● н20

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 7 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 909389-55-5 REGISTRY Entered STN: 03 Oct 2006 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrobromide (5:8) (9CI) (CA INDEX NAME) CZ1 HZ1 CL N4 O S . 8/5 Br H CA STN Files: CA, CAPLUS, USPATFULL (146939-27-7)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 6 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 909389-56-6 REGISTRY Entered STN: 03 Oct 2006 EN-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperaziny1]ethy1]-6-chloro-1,3-dihydro-, diacetate (9CI) (CA INDEX NAME) C21 H21 C1 N4 O S . 2 C2 H4 O2 CA STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

2 CM

CRN 64-19-7 CMF C2 H4 O2

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 8 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 884305-08-2 REGISTRY Entered STN: 15 May 2006 E1-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-1-hydroxyethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME) C21 H21 C1 N4 O2 S CA CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 9 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 884305-07-1 REGISTRY
Entered STN: 15 May 2006
2H-Indol-2-one, 5-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]acetyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)
C21 H19 C1 N4 O2 5
CA
STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 10 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN 881169-56-8 REGISTRY COPYRIGHT 2006 ACS on STN 881169-56-8 REGISTRY Entered STN: 20 Apr 2006 2H-Indol-2-one, 5-[2-[4-{1,2-benzisothiazol-3-yl})-1-piperszinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME) C21 HZ1 C1 N4 O 5. Br H CA STN Files: CA, CAPLUS (146939-27-7)

• HBr

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Uploading C:\Program Files\Stnexp\Queries\10729837a.str

chain nodes :

16 17 27 28 29

ring nodes :

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 18 \quad 19 \quad 20 \quad 21 \quad 22 \quad 23 \quad 24 \quad 25$

26

chain bonds :

2-11 14-16 16-17 17-18 19-28 24-29 25-27

ring bonds :

1-2 1-5 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14

14-15 18-19 18-23 19-20 20-21 21-22 21-24 22-23 22-26 24-25 25-26

exact/norm bonds :

 $1-2 \quad 2-3 \quad 2-11 \quad 3-4 \quad 4-5 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15 \quad 21-24 \quad 22-26$

24-25 25-26 25-27

exact bonds :

14-16 16-17 17-18 19-28 24-29

normalized bonds :

 $1-5 \quad 1-9 \quad 5-6 \quad 6-7 \quad 7-8 \quad 8-9 \quad 18-19 \quad 18-23 \quad 19-20 \quad 20-21 \quad 21-22 \quad 22-23$

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS 29:CLASS

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3

STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> d 13

L3 HAS NO ANSWERS

L3

STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 13 full

FULL SEARCH INITIATED 18:49:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 141 TO ITERATE

100.0% PROCESSED 141 ITERATIONS

44 ANSWERS

SEARCH TIME: 00.00.01

L4 44 SEA SSS FUL L3

=> d 14 1-10

ANSWER 1 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 913329-18-7 REGISTRY
Entered STN: 16 Nov 2006
Imiddedicarbonimatic dismide, N,N-dimethyl-, monohydrochloride, mixt. with 5-[2-[4-(1,2-benzisothiazol-3-yl])-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-Zt-indol-2-one (9CI) (CA INDEX NAME)
C21 H21 C1 N4 O S . C4 H11 N5 . C1 H
MXS
CA
STN Files: CA, CAPLUS, TOXCENTER, USPATFULL CRN 146939-27-7 CMF C21 H21 C1 N4 O S CRN 1115-70-4 (657-24-9) CMF C4 H11 N5 . C1 H • HC1 1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE) ANSWER 3 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 909419-72-3 REGISTRY COPYRIGHT 2006 ACS on STN 909419-72-3 REGISTRY Entered STN: 03 Oct 2006 Eicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (11,45)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (1:1) (9CI) (CA INDEX NAME) STEREOSEANCH C21 H21 C1 N4 0 S . C10 H16 04 S CA STN Tiles: CA, CAPLUS, USPATFULL CM 1 CRN 146939-27-7 CMF C21 H21 C1 N4 O S Absolute stereochemistry. Rotation (-).

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 2 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 909419-73-4 REGISTRY Entered STN: 03 Oct 2006 Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl]-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2xi-indol-2-one (1:1) 9CI) (CA INDEX NAME) C21 H21 C1 N4 O S . C10 H16 O4 S CA STN Files: CA, CAPLUS, USPATFULL CRN 146939-27-7 CMF C21 H21 C1 N4 O S 2 CRN 5872-08-2 CMF C10 H16 04 S 1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE) ANSWER 4 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 909419-71-2 REGISTRY
Entered STN: 03 Oct 2006
Bicyclo(2.2.1)heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (15,48)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-ZH-indol-2-one (1:1) (9CI) (CA INDEX NAME)
STEREOSEARCH
C21 H21 C1 N4 O S . C10 H16 O4 S
CA
STN Files: CA, CAPLUS, USPATFULL CM 1 CRN 146939-27-7 CMF C21 H21 C1 N4 O S CM 2 CRN 3144-16-9 CMF C10 H16 O4 S Absolute stereochemistry. Rotation (+). 1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 5 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 909419-70-1 REGISTRY Entered STN: 03 Oct 2006 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dibydro-, monobydrate (9CI) (CA INDEX NAME) C21 HZ1 C1 N4 O S - HZ O CA STN Files: CA, CAPLUS, USPATFULL (146939-27-7)

● н20

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 7 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 909389-55-5 REGISTRY Entered STN: 03 Oct 2006 2H-indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrobromide (5:8) (9CI) (CA INDEX NAME) CZ1 HZ1 CI N4 O S . 8/5 Br H CA STN Files: CA, CAPLUS, USPATFULL (146939-27-7)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 6 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 909389-56-6 REGISTRY Entered STN: 03 Oct 2006 E1-Indol-2-one, 5-[2-[4-{1,2-benzisothiazol-3-yl}-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, diacetate (9CI) (CA INDEX NAME) C21 H21 C1 N4 O S . 2 C2 H4 O2 CA STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

CM 2

CRN 64-19-7 CMF C2 H4 O2

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 8 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN 881169-56-8 REGISTRY
Entered STN: 20 Apr 2006
2H-indol-2-one, 5-[2-[4-{1,2-benzisothiazol-3-yl})-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)
C21 H21 C1 N4 O S . Br H
CA
STN Files: CA, CAPLUS (146939-27-7)

• HBr

L4 ANSWER 9 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
881169-53-5 REGISTRY
ED Entered STN: 20 Apr 2006
2H-indol-2-one, 5-[2-[4-{1,2-benzisothiazol-3-yl}]-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, (22)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
STEREOSEANCH
HF C21 H21 Cl N4 0 S . C4 H4 04
CSTN Files: CA, CAPLUS
CH 1
CRN 146939-27-7
CMF C21 H21 Cl N4 0 S

CM 2 CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE) Î

CM 2

CRN 64-19-7 CMF C2 H4 O2

> 2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- => FIL REGISTRY
- => SET TERMSET E#

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

386.40 386.61

FILE 'REGISTRY' ENTERED AT 19:05:38 ON 06 DEC 2006
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STRUCTURE FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5 DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> DEL SEL Y

SET COMMAND COMPLETED

- => SEL L4 1 RN
- => S E1/RN
- E1 THROUGH E1 ASSIGNED
- => SET TERMSET LOGIN

SET COMMAND COMPLETED

≈> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.52 387.13

FILE 'CAPLUS' ENTERED AT 19:05:40 ON 06 DEC 2006
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FILE COVERS 1907 - 6 Dec 2006 VOL 145 ISS 24 FILE LAST UPDATED: 5 Dec 2006 (20061205/ED)

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http://www.cas.org/infopolicy.html

=> S L4

L5 594 L4

=>

=> s 15 and amorph? 268712 AMORPH? L6 14 L5 AND AMORPH?

=> d 16 1-14 ibib abs hitstr

```
L6 ANSWER 1 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
115:230653
Drying process for the preparation of amorphous ziprasidone mesylate from ziprasidone mesylate dihydrate ariotars, Levi, Sigalit Mainfeld, Alexs Gold, Amir
TATENT ASSIGNEE(S):
TOWN TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. C
       DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                                                                                                                                        APPLICATION NO.
199191-10-3
RL: PEP (Physical, engineering or.chemical process): PYP (Physical process): RCT (Reactant): PROC (Process): RACT (Reactant or reagent) (drying process for the preparation of amorphous ziprasidone mesylate from ziprasidone mesylate dihydrate)
199191-70-3 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate, dihydrate (9CI) (CA INDEX
```

ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

СМ 2

CRN 75-75-2 CMF C H4 03 S

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN ' (Continued) 2 СМ 75-75-2 C H4 O3 S 185021-64-1P, Ziprasidone mesylate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(drying process for the preparation of amorphous ziprasidone
mesylate from ziprasidone mesylate dihydrate)
185021-64-1 CAPUS
2H-Indol-2-one, 5-[2-[4-[1,2-benzisothiazol-3-yl]-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME) ΙT CM 1

L6 ANSWER 2 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
DOCUMENT NUMBER:
1151:235744
Process of preparing ziprasidone mesylate
HNVENTOR(s):
HNVENTOR(s):
HNVENTOR(s):
HABINGEL(s):
FARENT ASSIGNEE(S):

SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PAMILY ACC. NUM. COUNT:
4

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ACS OF STATUS
145235744
Process of preparing ziprasidone mesylate
Hainfeld, Alex; Gold, Amir; Mendelovici, Marioara
Teva Pharmaceuticals Usa, Inc.
PCT Int. Appl., 24pp.
CODEN: PIXXD2
Patent
English
FAMILY ACC. NUM. COUNT:
4 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

PATENT 1	NO.			KIN	D	DATE			APP	LICAT	ON	NO.		D.	ATE	
WO 2006	0067			A1	-	2006	0017			2006-1				-	0060	
WO 2006																
w:										, BG,						
										, EC,						
										, JP,						
										, MA,						
										I, PL,						
						TJ,	TM,	TN,	TF	, TT,	TZ,	UA,	UG,	υs,	υz,	vc,
				ZM,												
RW:										, ES,						
										, RO,						
										, MR,						
	GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	52	, TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	ΒY,
				RU,												
US 2006				A1		2006	1116		US	2006-3	3533	04		2	0060	213
RIORITY APP	LN.	INFO	. :						US	2005~	6522	94P		P 2	0050	211
									US	2005-	6523	56P		P 2	0050	211
									US	2005-	6616	87P		P 2	0050	314
									US	2005-	6897	01P		P 2	0050	609
									US	2005-	7057	62P		P 2	0050	804
									US	2006-	7623	49P		P 2	0060	125
									US	2006-	7626	95P		P 2	0060	126
AB In one	odme	dime	nt.	the	ргез	ent :	inve						cess	of	prep	aring
. amorphoi																,

amorphous ziprasidone mesylate in a solvent selected from a group consisting of: C1-C5 alcs, C2-C5 ethers, glacial acatic acid amixts. therefore the present invention provides a process of preparing a solution of ziprasidone mesylate in a solvent selected from a group consisting of: C1-C5 alcs, C2-C5 ethers, glacial acatic acid and mixts. thereof with water, using an outlet temperature of above about 90° Preferably the inlet temperature is above the outlet temperature. In anothe embodiment, the present invention provides a process of preparing ziprasidone
mesylate crystal form characterized by x-ray powder diffraction peaks at 11.7, 17.3, 23.5, 24.2, and 25.2 degrees two-theta, 4 0.2 degrees two-theta (herein defined as Form 1) comprising the step of spray-drying a solution of ziprasidone mesylate in a solvent selected from a group consisting of: glacial acetic acid and mixts. thereof with C2-C6 ethers using an outlet temperature of above about 70°C, and collecting the obtained Form 1. Preferably the inlet temperature is above the outlet temperature. In another embodiment, the present invention provides a process of preparing ziprasidone mesylate crystal form characterized by x-ray powder diffraction peaks at 17.1, 18.7, 23.8, and 24.4 degrees two-theta, ±0.2 degrees two-theta (herein defined as Form VIII) comprising the step of spray-drying a solution of ziprasidone mesylate in C1-C5 alcs, and mixts. thereof with water using an outlet temperature is above the outlet temperature. For example, wet ziprasidone mesylate dihydrate needle crystals 3.8

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ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
g were dissolved in ethanol 80 mL and water 20 mL. The ziprasidone
mesylate soln. was sprayed at a spray vol. of 440 mL/h into a chamber
contg, a parallel flow of nitrogen heated to about 150 °C (flow
rate of about 38 m3/h). The outlet temp. was maintained at about
90°. A fraction was collected and detd. to be amorphous
ziprasidone mesylate, XRD.
185021-64-1P, Ziprasidone mesylate
RL: PRP (Properties); SPN (Synthetic preparation), THU (Therapeutic use);
BIOL (Biological study); PRPE (Preparation); USES (Uses)
(process of preparing ziprasidone mesylate)
185021-64-1 CAPLUS
2H-Indoi-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)
                           CRN 146939-27-7
CMF C21 H21 C1 N4 O S
                           CRN 75-75-2
CMF C H4 03 S
                        199191-70-3
RL: RCT (Reactant), RACT (Reactant or reagent)
(process of preparing ziprasidone mesylate)
199191-70-3 CAPLUS
2H-Indol-2-one, 5-(2-[4-(1,2-benzisothiazol-3-y1)-1-piperaziny1]ethy1]-6-
chloro-1,3-dihydro-, monomethanesulfonate, dihydrate (9CI) (CA INDEX
 IT
L6 ANSWER 3 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
1111E:
DOCUMENT NUMBER:
1111E:
1NVENTOR(S):
2005:1288708 CAPLUS
144:40787
Pharmaceutical compositions with enhanced performance containing hydroxypropyl methyl cellulose derivatives
Babcock, Walter Christian, Friesen, Dwayne Thomas;
Lyon, David Keith; Miller, Warren Kenyon; Smithey,
```

PATE	INT ASSIGNEE(S): CCE:	Lyon, David Keit Daniel Tod Pfizer Products PCT Int. Appl., CODEN: PIXXD2		on; Smithey,
	MENT TYPE: SUAGE:	Patent English		
	LY ACC. NUM. COUNT: ENT INFORMATION:	1		
	PATENT NO.		APPLICATION NO.	DATE
	WO 2005115330 WO 2005115330	A2 20051208 A3 20060706	WO 2005-IB1580	20050518
			BA, BB, BG, BR, BW, BY	. BZ. CA. CH.
			DM, DZ, EC, EE, EG, ES	
	GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KP	, KP, KR, KZ,
	LC, LK, LR,	LS, LT, LU, LV,	MA, MD, MG, MK, MN, MW	, MX, MZ, NA,
	NG, NI, NO,	NZ, OM, PG, PH,	PL, PT, RO, RU, SC, SI	, SE, SG, SK,
		TJ, TM, TN, TR,	TT, TZ, UA, UG, US, UZ	, VC, VN, YU,
	ZA, ZM, ZW			
	AW: BW, GH, GM,	KE, LS, MW, MZ,	NA, SD, SL, SZ, TZ, UG	, ZM, ZW, AM,
	AZ, BI, KG,	RE, MD, RU, 13,	TM, AT, BE, BG, CH, CY IE, IS, IT, LT, LU, MC	, CZ, DE, DK,
	RO SE SI	SK. TR. BF. BJ	CF, CG, CI, CM, GA, GN	, NL, PL, PI,
	MR, NE, SN,	TD. TG	CF, CG, CF, CH, GA, GA	i, dQ, dw, H1,
PRIC	RITY APPLN. INFO.:	,	US 2004-575541P	P 20040528
			US 2004-586549P	P 20040709
AB			lose acetate succinate	
			rith unique degrees of	
			l succinoyl groups. Wh	
		rising a low-solu	bility drug and such p	olymers, the
bola	mers			
			/or improved phys. sta pib in 50% HPMCAS with	
			property and spry dried	
			that the composition p	
drug		J 111 0095 5110#60	that the composition p	TOVICES SIMBICES
,		elative bioavaila	bility relative to the	amorphous
	drug.			
ΙT	146939-27-7			
			ological study); USES (
		compns. with enha	inced performance conta	ining
hydr	oxypropyl	, .		
RN	Me cellulose deri 146939-27-7 CAPLUS	LV3.)		
CN		2=[4=(1 2=ber=:==	thiazol-3-yl)-1-pipera	ainellathell-f-
٠.,	chloro-1,3-dihydro-			TINATI ACHATI-O-
		, , , ,		

ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN NAME) (Continued) CM 1 CRN 146939-27-7 CMF C21 H21 C1 N4 O S 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT: L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1224322 CAPLUS DOCUMENT NUMBER: 143:483095

TITLE:

INVENTOR(S):

Preparation of amorphous ziprasidone hydrochloride Zetina-Rocha, Carlosi Rey, Allan W., Buck, Matthew A., Derdour, Lotfi; Horne, Stephen E., Murthy, Keshava K. S.

S. Apotex Pharmachem Inc., Can. U.S. Pat. Appl. Publ., 6 pp. CODEM: USXXCO Patent English 1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND DATE APPLICATION NO. PATENT NO.

US 2005256139
CA 2467538
W0 2005111032
W: AE, AG, AL,
CN, CO, CR,
GE, GH, GH,
LK, LR, LS,
NO, NZ, OM,
TJ, TM, TM,
RW: BW, GH, GH,
AZ, BY, GH,
SN, TD, TG
PRIORITY APPLN. INFO::
GI US 2004-844991
US 2004-844991
WO 2004-2467538
WO 2004-CA981
US EC. EE, EC,
US, EC, EE, EC,
MG, MK, MN, MY,
RU, SC, SD, SE,
US, UZ, VC, VN,
SD, SL, SZ, TZ,
AT, BE, BG, CH,
LT, LU, CNL,
CH, GA, GN, GQ, A1 2 A1 2 A1 2 AM, AT, CU, CZ, HR, HU, LT, LU, PG, PH, TR, TT, KE, LS, KZ, MD, FR, GB, BF, BJ, 20051117 20051114 20051124 AU, AZ, DE, DK, LV, MA, PL, PT, TZ, UA, MW, MZ, RU, TJ, GR, HU, CF, CG, 20040707 20040514 20040707 BZ, CA, CH, FI, GB, GB, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW, ZM, ZW, AH, CZ, DE, DK, PT, RO, SE, ML, MR, NE, BA, DM, IN, MD, RO, UG, NA, TM, IE, CI,

CA 2004-2467538

The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas. 12283-93-6P, Ziprasidone hydrochloride RL: PRP (Properties): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): VSES (Uses) (preparation of amorphous ziprasidone hydrochloride) 12288-9-3-6 CAPLUS 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:1154548 CAPLUS DOCUMENT NUMBER: 143:427349

DOCUMENT NUMBER: TITLE:

Preparation of amorphous ziprasidone hydrochloride

INVENTOR (5):

hydrochloride Tyagi, Om Dutt: Srivastava, Tushar Kumar, Chavan, Yuvraj Atnaram Lupin Limisted, India PCT Int. Appl., 10 pp. CODEN: PIXKD2 Patent

PATENT ASSIGNEE(S):

SOURCE:

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		NO.			KIN	D	DATE				ICAT				-	ATE	
		1003			A1	-	2005									0050	
wo	2005																
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	₿A,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NI, NO, N					PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
	NI, NO, N SM, SY, T					TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,
		ZM,	ZW														
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SX,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG									-		
PRIORITY GI	APP	LN.	INFO	.:						IN 2	004-	MU45	0		A 2	0040	415

A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a I solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I. 12283-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process), PRP (Properties), PYP (Physical process), TRU (Therapeutic use), BIOL (Biological study), PROC (Process), USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)
12283-93-6 CAPLUS

2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

146939-27-7, Ziprasidone
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of amorphous ziprasidone hydrochloride)
146939-27-7 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2005:638706 CAPLUS
DOCUMENT NUMBER: 143:159548
INVENTOR(S): Boehm, Garth Dundon, Josephine
Alpharma, Inc., USA
POT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
 DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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P	AT	ENT I	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		Đ.	ATE	
-							-									-		
W	O	2005	0656	45		A2		2005	0721	1	WO 2	004-	US42	999		2	0041.	223
W	o	2005	0656	45		A3		2005	1027									
		W:	AE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ĒS,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
			MR,	NE,	SN,	TD,	ŤĠ											
C	Α	2552	221			AA		2005	0721		CA 2	004-	2552	221		2	0041	223
11	2	2005	2320	٥٥		A 1		2005	1020		110 2	nn4-	2234	6		2	0041	223

CA 2552221 AA 2005072 CA 2004-22346 20041223 US 2005232990 A1 20051020 US 2004-22346 20041223 RITY APIN. INFO.: US 2005-10246 2004-22346 20041223 Donepezil formulations, including amorphous donepezil or pharmaceutically acceptable salts thereof; sustained-release formulations; and donepezil sprinkle formulations are disclosed. 146939-27-7, Ziprasidone RL: PEP (Physical, engineering or chemical process); PYP (Physical process); TRU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (donepezil formulations) 146939-27-7 CAPUS 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiszol-3-y1)-1-piperaziny]]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

PRI

ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:493702 CAPLUS DOCUMENT NUMBER: 141:54361
Polymorphic forms of ziprasidone and its hydrochloride Reddy, Manne Satyanarayana; Srinivasan, Thirumalai Rajan; Uppala, Venka Bhaskara Rao; Venkatesh, Mummadi; Prabhakar, Akundi Surya Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.
PCT Int. Appl., 26 pp.
CODEN: PIXXD2
Patent 141:54361 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE		
						-									-			
WO	2004	0506	55		A1		2004	0617		WO 2	003-	US38-	489		2	0031	204	
	W:	ΑE,	AG,	AL,	AM,	ΑŤ,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DŻ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
		NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	2W		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	ВÉ,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
AU	2003	3008	14		A1		2004	0623		AU 2	003-	3008	14		2	0031	204	
US	2004	1527	11		A1		2004	0805	1	US 2	003-	7298	37		21	0031	204	
ORITY	APP	LN.	INFO	. :						IN 2	002-1	4A90	7		A 2	0021	204	
									1	WO 2	003-1	J538	189	1	2	0031	204	
Th.			4		4.		1			-4-1	14	£		e - 2.				

The present invention is related to crystalline forms of ziprasidone and its hydrochloride salt and an amorphous form of ziprasidone hydrochloride and the process for the preparation thereof. The crystalline

and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chlorock)1-6-chlorockindole, 47.5 g 3-(1-piperaziny)1-1,2-benzisothiazole and 500 mL cyclohexame were charged into an autoclave, followed by adding addium carbonate 46, sodium iodide 3.2, and tetrabutylphosphonium bromide 14.8 g and the reaction mixture was maintained at 95-102 and 2.5 kg/cm2 till the reaction was completed, cooled to 300', treated with 250 mt MIZO, filtered to give, after washing with 100 mL water, the wet compound The wet compound was suspended in r.

with 100 mL water, the wet compound The wet compound was suspended in water,
filtered, washed water, resuspended in acetone, filtered, washed with
acetone, filtered, and dried at 60-65' to give 65.7 g ziprasidone
base. Ziprasidone of 3 and 50 mL acetic acid were placed into a round
bottom flask and heated to 45-50', treated slowly with 25 mL aqueous
HCl over 20 min, refluxed, and treated with 10 mL water, followed by
addition
of 50 mL isopropanol. The reaction mass was cooled to 50',
followed by distilling off the solvent completely under vacuum., to give
amorphous form of ziprasidone hydrochloride.

IT 146939-27-7P, Ziprasidone
RL: PAC (Pharmacological activity), PRP (Properties), SPN (Synthetic
preparation), THE (Therapeutic use), BIOL (Biological study), PREP
(Preparation), USES (Uses)

ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(X-ray diffraction anal.; prepn. of polymorphic forms of ziprasidone and its hydrochloride)
146593-27-7 CAPLUS
2H-Indol-2-one, 5-[2-[4-{1,2-benzisothiazol-3-yl}-1-piperazinyl}ethyl}-6-chloro-1,3-dihydro- (9CI) {CA INDEX NAME}

122883-93-6P, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES
(Uses)
(preparation of polymorphic forms of ziprasidone and its hydrochloride)
122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, monohydrochloride (SCI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
                                                     (Continued)
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REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMA

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:142993 CAPLUS
DOCUMENT NUMBER: 140:1879388
TITLE: Pharmaceutical compositions of semi-ordered drugs and Polymers
Babcock, Walter Christian, Caldwell, William Brett,
Crew, Marshall David, Friesen, Dwayne Thomas, Smithey,
Daniel Tod, Shanker, Ravi Mysore
Ffizer Products Inc., USA
PCT Int. Appl., 117 pp.
CODEN: PIXXD2
Patent INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE WO 2003-IB3465 WO 2004014342 20040219 A1 20030731 2004014342
W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PG, PH, PL,
TR, TT, TZ,
RW: GH, GM, KE,
KG, KZ, MD,
FI, FR, GB,
EF, BJ, CF, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2496441 AA 2040219 CA 2003-2496441 20030731
AU 2003249474 A1 20040225 AU 2003-2496441 20030731
EP 1530457 A1 20050518 EP 2003-784384 20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
BR 2003013428 A 20050628 BR 2003-13428 20030731
CN 1681479 A 20051012 CN 2003-821348 20030731
JP 2006500349 T2 20066105 JP 2004-527196 20030731
US 2004156905 A1 20040812 US 2003-636634 200306015
NO 2005500419 A 20055040 NO 2005-419 20050125
PRIORITY APPLN. INFO.: NO 2005-419 US 2002-403087P WO 2003-1B3465 20030731 AB A solid composition of a tow-solubility drug and a concentration-enhancing polymer has a mer has a portion of the drug in a semi-ordered state. A dispersion contained (+)-N-(3-(3-(4-fluorophenoxy)phenyl)-2-cyclopenten-1-yl)-N-hydroxyurea (I) 0.25, HPMC 0.25, scetone 49.75, and methanol 49.754, was spray-dried. The resulting solid amorphous spray-dried dispersion was collected, dried under vacuum, and stored in a desiccator. The solid amorphous dispersion was in the form of small particles having an average diameter of about 1.5 pm, but with a broad distribution of particle sizes. After drying, the solid amorphous dispersion contained 50 wt% I. The glass transition temperature of this spray-dried dispersion function of relative humidity was determined 146939-27-7, Ziprasidone RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (pharmaceutical compns. of semi-ordered drugs and polymers)
146939-27-7 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:610236 CAPLUS
139:154927
TITLE: 139:154927
Pharmaceutical compositions of amorphous dispersions of drugs and lipophilic microphase-forming materials
INVENTOR(S): Perlman, Michael Ellis; Shanker, Ravi Mysore; Babcock, Walter Christian; Prisesn, Dwayne Thomas; Rabenstein, Mark David, Smithey, Daniel Tod
PATENT ASSIGNEE(S): STITLE CHRISTON FOR THE CHRISTON F DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

VO 2003063833 Al 20030807 WO 2003-1B335 20030128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, XZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NZ, NO, NZ, CM, PH, PL, FT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, HC, NL, PT, SE, SI, SK, TR, EF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, HL, MR, NE, SN, TD, TG

CA 2474838 AA 20030807

EP 1469832 Al 20041027 EP 2003-2704438 20030128

ER: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, IT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK, BR 2003007344 A 20041214 BR 2003-7344 20030128

US 2003228368 Al 20031211 US 2003-3563527 20030128

US 2003228368 Al 20031211 US 2003-3563527 20030128

DS 200320368 Al 20031211 US 2003-3563527 20030128

AB A pharmaceutical composition comprises a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer and a lipophilic microphase-forming material. Alternatively, a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer in vas on the drying and a proposition was formed containing 2.5 wtl Grug, 7.5 wtl HPMCAS-MF, and 90 acetone. The solution was gray-dried by directing a 2-fluid external-mix spray nozzle at 2.7 bar with a feed rate of 190 y/min into the stainless-steel chamber of a spray-dried, by using nitrogen as the drying gas maintained at a temperature of 137 act, the inlet, the drying gas and evaporated solvent exited the

a spray-dryer, by using nitrogen as the drying yes, meantened to temperature of 137° at the inlet, the drying yes and evaporated solvent exited the drier at 49°. The resulting solid amorphous dispersion was collected and then dried in a solvent tray-drier by spreading the spray-dried particles onto polyethylene-lined trays to a depth of not more than 1 cm and then drying them at 40° for 25 h. After drying, dispersion 1 contained 25 wt% drug.

17 122883-93-6, 21prasidone hydrochloride 146939-27-7, Ziprasidone RL: THU (Therapeutic use): BIOL (Biological study): USES (Uses) (pharmaceutical compns. of amorphous dispersions of drugs and lipophilic microphase-forming materials)

ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperaziny1]ethy1]-6chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

146939-27-7 CAPLUS 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)
(compns. contg. poorly-sol. drug/matrix solid dispersion and soly.-enhancing polymer)
185021-64-1 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

2

CRN 75-75-2 CMF C H4 03 S

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5811 CAPLUS
DOCUMENT NUMBER: 138:78458
Pharmaceutical compositions containing a solid dispersion of a poorly-soluble drug in a matrix and a solubility-enhancing polymer
INVENTOR(S): Babcock, Walter Christian; Curatolo, William John; Friesen, Dwayne Thomas; Ketner, Rodney James; Lo, Julian Belknap; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; West, James Bleir
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PTIZER PRODUCTS Inc., USA
COUMENT TYPE: Patent
LANGUAGE: Ptizer Products Inc., USA
PCT Int. Appl., 212 pp.
CODEN: PIXKD2
PATENT INFORMATION: 1 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PRIORITY APPLN. INFO.: Now-solubility drug and the aconcentration-enhancing polymer. At least a major portion of the drug is amorphous in the dispersion. The compns. improve the stability of the drug in the dispersion, and/or the concentration of concentration of drug in a use environment. For example, a solid drug/matrix dispersion comprised of 104 3,5-dimethyl-4-(3'-pentoxy)-2-(2',4',6'-trimethylphenoxy)pyridine and 90% polyethylene glycol was prepared by a melt-congeal process. The solid drug/matrix dispersion was then combined with the concentration-enhancing polymer hydroxypropyl Me cellulose acetate succinate (HPMCAS). Addition of HPMCAS increased maximum concentration of drug in solution during the first 90 min (Cmax90) and the area under the aqueous encration
vs. time curve after 90 min (AUC90) by 1.12-fold and 1.19-fold, resp.,
compared to the solid drug/matrix dispersion with no concentration-enhancing
polymer and by 2.38-fold and 2.25-fold, resp., compared to pure drug.
188021-64.

L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5760 CAPLUS
DOCUMENT NUMBER: 138:78451
TITLE: Pharmaceutical compositions of adsorbates of amorphous drug
INVENTOR(S): Babcock, Walter Christian; Friesen, Dwayne Thomas; Shanker, Ravi Mysore; Smithey, Daniel Tod; Tadday, Raloh

Shanker, Ravi Mysore; Smit Ralph Pfizer Products Inc., USA PCT Int. Appl., 218 pp. CODEN: PIXXD2 Patent English 1 PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

FAMILY.ACC. NUM. COUNT: PATENT INFORMATION:

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RW:										TZ.	UG.	ZM.	ZW.	AT.	BE.	CH
	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR.	IE,	IT.	LU.	MC.	NL.	PT.	SE.	TR
24488	25			AA		2003	0103		CA 2	002-	2448	825	,	2	0020	521
14043	302			A1		2004	0407		EP 2	002-	7305	96		2	0020	521
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	ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
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15239	79			Α		2004	0825		CN 2	002-	8125	03		2	0020	521
20040	0281	l		A2												
		20		T2		2005	0120		JP 2	003-	5068	85		2	0020	521
						2005	0826		NZ 2	002-	5294	90		2	0020	521
20030	5403	37		A1		2003	0320		US 2	002-	1739	87		2	0020	617
20030	0873	35		A		2004	0915								0031	110
10848	19			A		2004	0730		BG 2	003-	1084	89		2	0031	222
APPI	N. 1	NFO	. :													
								,	WO 2	002-	IB17	92	1	J 2	0020	521
	W: RW: 24488814043 R: 2004020020152392200355294920030	W: AE, CO, GM, LS, PLL, VA, RW: GH, BF, 2448825 1404302 R: A20040023 20020105 1523979 20040028 20055018 2529490 20030540; 20030087; 108489 APPLN.	W: AE, AG, CO, CR, GM, HR, LS, LT, PL, PT, W, UG, BF, BJ, 2448825 1404392 R: AT, BE, SI, 20040034 2002010519 1523979 200400281 2005501820 520490873 APPLN. INFO	W: AE, AG, AL, CO. CR, CU, GM, HR, HU, LS, LT, LU, PL, PT, RO, UA, UG, US, W: GH, GM, KE, CY, DE, DK, BF, BJ, CF, 2448825 1404302 R: AT, BE, GL, 2002010519 1523979 20020205501820 520305037 200308735 108489 APPLN. INFO::	W: AE, AG, AL, AM, CO, CR, CW, CZ, GM, HR, HU, ID, LS, LT, LU, LV, PL, PT, RO, RU, VIA, UG, VIA, CM, CM, CM, CM, CM, CM, CM, CM, CM, CM	W: AE, AG, AL, AM, AT, CO, CR, CU, C2, DE, GM, HR, HU, ID, IL, LS, LT, LU, LV, AM, PL, PT, RO, RU, SD, VA, VG, E, ST, BF, BJ, CF, CG, CA, LE, SI, CF, CG, CA, LE, SI, LT, LV, FI, 200400034 2002010519 A 1523979 A 200200281 A2 20025051820 T2 2002005735 A APPLN. 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INFO::	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CO, CR, CH, CU, CZ, DE, DK, DM, DZ, EC, GM, HR, HU, ID, IL, IN, IS, JP, KE, LS, IT, IU, LV, MA, MD, MG, MK, MN, PL, PT, RO, RU, SD, SE, SG, SI, SK, VI, VI, VI, VI, VI, VI, VI, VI, VI, VI	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, CGH, HR, HU, ID, IL, IN, IS, JP, KE, KG, LS, LT, LU, LV, MA, HD, MG, MK, MM, MM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, HW, MZ, SD, SL, SZ, TZ, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, Z448825 AA 20030103 CA 2002-248825 AB 20040407 EP 2002-R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 200400034 A 20040615 EE 2004-20051519 A 20040625 CN 2002-2003054037 A1 20030320 US 2002-2003054037 A1 20030320 US 2002-2003054037 A 20040915 EA 2002-2003054037 A1 20030320 US 2002-2003054037 A1 20030320 US 2002-2003054037 A2 20040915 BG 2003-APPLN. INFO::	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, LS, LT, LU, LY, MA, MD, MG, MK, MM, MY, MX, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GK, KE, LS, RW, MZ, SD, SL, SZ, TZ, UG, CY, DE, DK, ES, FT, FR, GB, GR, IE, IT, LU, BF, BJ, CF, CG, CT, CM, GA, GN, GQ, GW, ML, C448825 AA 20030103 EP 2002-21458 (104302 A1 20040407 EP 2002-21519 A2 20040615 EE 2004-34 C2002010519 A 20040615 EE 2004-34 C2002010519 A 20040625 ER 2002-1051 1523979 A 20040625 ER 2002-1051 1523979 A 20040625 ER 2002-1051 1523979 A 20040625 CR 2002-8125 200400281 A2 20040630 HU 2004-281 2005501820 T2 20050120 JJ 2003-5068 229490 A 20050120 US 2002-5034 APPLN. INFO::	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, RS, FI, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, CH, GW, SB, SB, SB, CF, CG, CT, CH, GA, GM, GQ, GW, HL, MR, BF, BJ, CF, CG, CT, CH, GA, GM, GQ, GW, HL, MR, 2048825 AA 20030103 A1 20040017 EP 2002-730596 R: AIT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, ED, CH, CB, CB, CB, CB, CB, CB, CB, CB, CB, CB	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NO, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TH, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GK, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, BF, BJ, CF, CG, CT, CH, GA, GN, GG, GW, ML, MR, SE, BJ, CF, CG, CT, CH, GA, GN, GG, GW, ML, MR, SE, BT, ET, LT, LV, FT, FT, FT, FT, FT, FT, FT, FT, FT, FT	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TM, TR, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NI, PT, BF, BJ, CF, CG, CI, CM, GA, GM, GG, GW, ML, MR, NE, SN, 2448825 AA 20030103 CF 2002-2348825 AA 20030103 FP 2002-230596 22 AI 200400291 AI 20040615 EE 2002-230596 22 AI 200400291 A2 20040615 EE 2002-10519 22 AI 200400291 A2 20040825 CN 2002-812503 22 AI 2003054037 AI 20030320 US 2002-10519 22 APPLN. INFO:: W 2001-300260P P 2 APPLN. INFO:: W 2001-300260P P 2 W 2002-181792 W 2	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CY, DB, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, CA2002-2448825 20020 1404302 AA 20040047 EF 2002-2730596 20020 1E, SI, LT, LV, FI, RO, MK, CY, AL, TR 200400034 A 20040615 EE 2004-34 20020 1523979 A 20040622 BR 2002-10519 20020 1523979 A 20040825 CN 2002-812503 20020 1523979 A 20040826 CN 2002-812503 20020 2005501820 T2 20050120 JP 2003-506885 20020 2005501820 A 20050826 NZ 2002-523490 20020 2005501820 A 20050826 NZ 2002-523490 20020 200500373 AI 2003030 US 2002-173987 20020 200300373 A 20040915 ZA 2003-8735 20031 108489 A 20040730 BG 2003-108489 22031

surface area substrate to form an adsorbate. The compns. in some embodiments include a concentration-enhancing polymer. A drug/substrate adsorbate comprising quinoxaline-2-carboxylic acid(4(R)-carbamayl-1 (S)-3-fluorobenzyl-2(S), 7-dihydroxy-7-methyl-octyl) mmide 10, and zinc oxide 908 (the substrate) was prepared The Cmax,90 provided by the above adsorbate was 3.3-fold that of the crystalline control, while the AUC90 ws 2.6-fold that of the control.
185021-64-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. of adsorbates of amorphous drug)
185021-64-1 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CRN 146939-27-7

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN CMF C21 H21 Cl N4 O S (Continued)

2

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 146939-27-7 CAPLUS 2H-1ndol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-(9CI) (CA INDEX NAME)

185021-64-1 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 0 S

CM 2

75-75-2 C H4 O3 S CRN CMF

L6 ANSWER 12 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
1TITLE:
1TITLE:
1NVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
PATENT TYPE:
LANGUAGE:
PATENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT FORMATION:
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PATENT TORMATION:
PATENT TORMATION:
PATENT TORMATION:
PATENT TORMATION:

CAPLUS COPYRIGHT 2006 ACS on STN
2003:5750 CAPLUS
138:78446
Pharaceutical compositions containing polymer and drug assemblies
Passignee(t) Copyright 2004
Pharaceutical compositions containing polymer and drug assemblies
Passignee(t) Copyright 2006 ACS on STN
2003:5750 CAPLUS
138:78446
Pharaceutical compositions containing polymer and drug assemblies
Passignee(t) Copyright 2006 ACS on STN
2003:5750 CAPLUS
138:78446
Pharaceutical compositions containing polymer and drug assemblies
Passignee(t) Copyright 2006 ACS on STN
2003:5750 CAPLUS
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Pharaceutical compositions containing polymer and drug assemblies
Passignee(t) Copyright 2006 ACS on STN
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Pharaceutical compositions containing polymer and drug assemblies
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Passignee(t) Copyright 2006 ACS on STN
2003:5750 CAPLUS
138:78446
Pharaceutical compositions containing polymer and drug assemblies
Passignee(t) Copyright 2006
Pharaceutical compositions containing polymer and drug assemblies
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Private David Copyright 2006
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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											2002-						
WO	2003	0002	26		A3		2003	1023									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC.	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN.	MW.	MX,	MZ,	NO.	NZ.	OM.	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK.	SL,	TJ,	TM,	TN.	TR.	TT.	TZ.
							YU,										
	RW:	GH,	GM,	KE,	LS,	MW.	MZ.	SD,	SL.	SZ.	TZ,	UG,	ZM.	ZW.	AM.	AZ.	BY.
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		GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG							
CA	2450	748			AA		2003	0103	- 1	CA 2	2002-	2450	748		2	0020	617
ΑU	2002	3091	72		A1		2003	0108		AU 2	2002-	3091	72		2	0020	617
US	2003	1703	09		A1		2003	0911		us 2	2002-	1739	45		2	0020	617
EP	1401	399			A2		2004	0331		EP 2	2002-	7358	49		2	0020	617
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
BR	2002	0110	28		Α		2004	0615		BR 2	2002-	1102	8		2	0020	617
JP	2004	5348	11		T2		2004	1118		JP 2	2003-	5068	73		2	0020	617
AIT:	Y APP	LN.	INFO	.:						US 2	2001-	3002	59P	1	P 2	0010	622

PRIORITY APPLN. INFo:

US 2001-3002559 P 20010622

AB Solns. containing polymer/drug assemblies of a low-solubility drug and amphiphilic polymer are disclosed. In addition, solid aggregated polymer/drug assembles are disclosed comprising a low-solubility drug and polymer. For example, amorphous solid dispersions of the low-solubility drug 5-chloro-IH-indole-2-carboxylic acid [(1S)-benzyl-3-((2R,4S)-dihydroxypyrroldin-1-yl-)-(2R)-hydroxy-3-oxypropyl] amide and the amphiphilic polymer hydroxypropyl Me cellulose acetate succinate were prepared When no drug was present, small particles about 10-20 nm in size were present due to aggregation of the polymer (HPMCAS-MF) with itself, likely as a result of its amphiphilicity, which renders the polymer only sparingly water soluble For solns. containing drug solid dispersions, particles

icles were present with an average size of about 80 nm. This demonstrates the formation of polymer/drug assemblies in solution 146939-27-7, Ziprasidone 185021-64-1, Ziprasidone

1T 140939-2/-/, Ziprasidone 180021-04-1, Ziprasidone
mesylate
RE: PEF (Physical, engineering or chemical process); PYF (Physical
process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
USES (Uses)
(compns. containing amphiphilic polymer and low-solubility drug
assemblies)

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
133:168404
Omnotic system for delivery of solid amorphous
dispersions of drugs
Appel Lean Elizabeth: Curatolo, William John, Herbig,
Scott Maw, Nightingale, James Alan Schriver; Thombre,
Avinash Govind
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY AGC. NUM. COUNT: PATENT INFORMATION: Patent English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1027888	A2	20000816	EP 2000-300572	20000126
EP 1027888	A3	20010228		
R: AT, BE, CH,	DE, DK	, ES, FR, GB,	GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI	, RO		
US 6706283	В1	20040316	US 2000-495061	20000131
CA 2298238	AA	20000810	CA 2000-2298238	20000209
CA 2298238	С	20051025		
JP 2000229846	A2	20000822	JP 2000-33132	20000210
BR 2000000358	A	20010821	BR 2000-358	20000210
US 2004175428	A1	20040909	US 2004-799536	20040311
PRIORITY APPLN. INFO.:			US 1999-119406P	19990210

CONTROL NOTE:

OUT 1999-119406F P 19990210

Controlled release dosage forms for low solubility drups comprise an amorphous solid dispersion of the drug coated with a non-dissolving and non-eroding coating that controls the influx of water to the core so as to cause extrusion of a portion of the core, as well as a method of treating a disease or disorder comprising administering such dosage form to a person. A solid dispersion was prepared from [R-(R*,S*)]-5-chloro-N-[2-hydroxy-3-[methoxymethylamino-3-cxo-1-(phenylmethylpropyl]propyl]-Hi-indole-2-carboxanide (a glycogen phosphorylase inhibitor) and hydroxypropyl Me cellulose acetate succinate. 146939-27-7, Ziprasidone
RL: THU (Therapeutic use) BIOL (Biological study), USES (Uses) (csmotic system for delivery of solid amorphous dispersions of drugs)
146939-27-7 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 2000:573515 CAPLUS MENT NUMBER: 133:182970 ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE: Matrix controlled release device for a low-solubility

Matrix controlled release device for a low-solul drug Appel, Leah Elizabeth; Friesen, Dwayne Thomas; Curatolo, William John; Nightingale, James Alan Schriver; Thombre, Avinash Govind Pfizer Products Inc., USA Eur. Pat. Appl., 26 pp. CODEN: EPXXDW Patent INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. EF 1027887 A2 20000816 EF 2000-300546 20000126 EF 1027887 A3 20010228 EF 1027887 A3 20010228 EF 1027887 A3 20010228 EF 2000-300546 20000126 EF 2000-300546 20000126 EF 2000029888 A2 2000822 JF 2000-300546 20000210 EF 20000229888 A2 20000822 JF 2000-33446 20000210 EF 20000359 A 20010814 EF 2000-359 20000210 FRIORITY AFPLIN. INFO: US 1999-1194000 F 19990210 JF 2005-32465 20050810 FRIORITY AFPLIN. INFO: IE, SI, LT, LV, FI, RO
CA 2298245 C 20041130 CA 2000-2298245 20000209
JP 2000229888 A2 20000822 JP 2000-33446 20000210
BR 2000000359 A 2010814 BR 2000-359 20000210
JP 2005320354 A2 20051117 JP 2005-226695 20050804
RITY AFPLN. INFO:: US 1999-119400P P 19990210
Disclosed are a controlled release dosage form for a low solubility drug

is a spray-dried or spray-coated amorphous solid dispersion of the drug in an ionizable cellulosic polymer matrix that is in turn incorporated into a secondary erodible polymeric matrix and a method of treating a disease or disorder comprising administering such a dosage form. A batch of solid dispersion was prepared by spray-drying a solution containing drug 5-chloro-1H-indole-2-carboxylic acid (16-benzyl-3-3,8,45) dihydroxypyrrolidin-1-y1)-(2R)-hydroxy-3-oxypropyl)amide (water solubility

yg/mL) in acetone together with hydroxypropyl Me cellulose acetate succinate. The resulting solid dispersion was mixed with hydroxypropyl Me cellulose, lactose, and Mg stearate. The mixture was finally compressed to give tablets. 146939-27-7, Ziprasidone RE: THU (Therapeutic use), BIOL (Biological study), USES (Uses) (cellulosic polymer and pH-sensitive polymer matrixes for solid dispersion of low-solubility drugs) 146939-27-7 CAPLUS 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

```
L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:1157390 CAPLUS
N 14:49263
TI Use of metformin to counteract weight gain associated with aripiprazole or ziprasidone treatment
N Cottingham, Elizabeth M.
PA Emc Research, LLC, USA
SO PCT Int. Appl., 17pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1
PI WO 2006116470 A1 20061102 WO 2006-US15764 20060425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GG,
GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OH, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZW,
RW AT, EB, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GM, HL, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
US 2006-407231 20060419
PRAIL SZ 2006-407231 20060419
RE.CNT 6 THERE ARE 6 CIERD REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
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=> s 913329-18-7/rn full

1 913329-18-7

0 913329-18-7D

L8 1 913329-18-7/RN

(913329-18-7 (NOTL) 913329-18-7D)
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=> d 17 hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
11 913329-18-7
RL: PAC (Pharmacological activity), THU (Therapeutic use); BIOL
(Biological study), USES (Uses)
(metformin to counteract weight gain associated with aripiprazole or ziprasidone treatment)
RN 913329-18-7 CAPLUS
CN Inidodicarboninidic diamide, N,N-dimethyl-, monohydrochloride, mixt. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (9CI) (CA INDEX NAME)

CN 146939-27-7
CNF C21 H21 Cl N4 0 S

CM 2 CRN 1115-70-4 CMF C4 H11 N5 . C1 H

NH NH Me2N-C-NH-C-NH2

• HCl

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

104.12 491.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

•

-10.50 -10.50

CA SUBSCRIBER PRICE

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES:

5 DEC 2006 HIGHEST RN 914910-45-5

DICTIONARY FILE UPDATES:

5 DEC 2006 HIGHEST RN 914910-45-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> s ziprasidon?

L9 10 ZIPRASIDON?

=> d 19 1-10

L9 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 864175-99-5 REGISTRY 2005
Entered STN: 29 Sep 2005
2H-Indol-2-one, 5-{2-{4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride, hydrate (2:1) (9CI) (CA INDEX NAME)
CTHER NAMES:
CTHER NAMES:
CT Ziprasidone hydrochloride hemihydrate
MF C21 H21 C1 N4 0 S . C1 H . 1/2 H2 0
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
CRN (146939-27-7)

● HC1

●1/2 H₂O

4 REFERENCES IN FILE CA (1907 TO DATE) 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
199191-69-0 REGISTRY
Entered STN: 31 Dec 1997
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate, trihydrate (9CI) (CA INDEX NAME) NAME) OTHER NAMES:

Ziprasidone mesylate hydrate C21 H21 Cl N4 O S . C H4 O3 S . 3 H2 O

STN Files: BIOTECHNO, CA, CAPLUS, CHEMCATS, EMBASE, IMSPATENTS, IMSRESEARCH, RIECS*, USAN, USPATFULL
(*File contains numerically searchable property data)

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

CM 2

CRN 75-75-2 CMF C H4 03 S

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 195522-95-7 REGISTRY
ED Entered STN: 09 Jan 1998
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, mono(4-methylbenzenesulfonate) (9C1) (CA INDEX NAME)
CTHER NAMES:
CN Ziprasidone tosylate
MF C21 H21 Cl Ns 0 5 . C7 H8 .03 S
SR CA
LC STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH, TOXCENTER, USPAT2,
USPATFULL

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

CM 2

4 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 194280-91-6 REGISTRY
ED Entered STN: 19 Sep 1997
CN Piperazine, 1-[2-(6-chloro-2,3-dihydro-2-oxo-1H-indo1-5-y1)ethy1]-4[timno[2-(methylthio)pheny1]methy1]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN S-Methyldihydroziprasidone
MF C22 H25 C1 N4 0 S
SR CA
LC STN Files: C3

CA
STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE) 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 188797-80-0 REGISTRY
ED Entered STN: 06 May 1997
CN 2H-Indol-2-one, 6-chloro-1,3-dihydro-5-[2-[4-(1-oxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)
CTHER NAMES:
CN Ziprasidone sulfoxide
MF C21 H21 C1 N4 O2 S
SR CA
LC STN Files: Place

R NAMES: Ziprasidone sulfoxide C21 H21 Cl N4 O2 S CA STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 185021-64-1 REGISTRY
ED Entered STN: 15 Jan 1997
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)
OTHER NAMES:
CN CP 88059-27
CN Zeldox IM
CN Ziprasidone mesylate
MF C21 H21 Cl N4 0 S . C H4 03 S
CI COM
SR CAS Client Services
LC STN Files: Block
PATROL CCM
CAS Client Services
SIN Files: BIOSIS, CA, CAPLUS, CHEMCATS, IMSPATENTS, IMSRESEARCH, IPA,
PATDPASPC, PS, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 146939-27-7 CMF C21 H21 C1 N4 O S

2

CRN 75-75-2 CMF C H4 03 S

26 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
26 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 188797-77-5 REGISTRY
ED Entered STN: 06 May 1997
CN 2H-Indol-2-one, 6-chloro-5-[2-[4-(1,1-dioxido-1,2-benzisothiazol-3-y1)-1piperazinyl]ethyl]-1,3-dihydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2iprasidone sulfone
MF C21 H21 Cl N4 03 S
SR CA
LC STN Files: Pro-

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE) 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT **

563 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
566 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 138982-67-9 REGISTRY
ED Entered STN: 14 Feb 1992
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)
CN 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-Indol-2-one hydrochloride monohydrate
CN Ziprasidone hydrochloride monohydrate
CN Ziprasidone monohydrochloride monohydrate
CN Ziprasidone monohydrochloride monohydrate
CN ZIPRISIDE STREET S

PAGE 1-A

PAGE 2-A

● н20

14 REFERENCES IN FILE CA (1907 TO DATE)
14 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 122883-93-6 REGISTRY
ED Entered STN: 29 Sep 1989
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)
CTHER NAMES:
CN 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride
CN CP 88059-1
CN Zeldox
CN Ziprasidone hydrochloride
DR 152287-06-4, 118289-78-4
HF C21 L12 L1 N4 O S . Cl H
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHENCATS, CIN, DMBASE, IMSPATENTS, IMSRESEARCH, MRCK*, PATDPASPC, PHAR, PROMIT, PROUBDR, PS, SWITHLINE, TOXCENTER, USPATZ, USPATZULL

(*File contains numerically searchable property data)
CRN (146939-27-7)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

61 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
61 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL REGISTRY

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
24.64
515.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -10.50

FILE 'REGISTRY' ENTERED AT 19:31:40 ON 06 DEC 2006
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STRUCTURE FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5 DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

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http://www.cas.org/ONLINE/UG/regprops.html

=> SET TERMSET E#

SET COMMAND COMPLETED

- => DEL SEL Y
- => SEL L9 10 RN
- E1 THROUGH E1 ASSIGNED
- => S E1/RN

L10 1 122883-93-6/RN

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL CAPLUS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.52
516.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY TOTAL SESSION

CA SUBSCRIBER PRICE

0.00

-10.50

FILE 'CAPLUS' ENTERED AT 19:31:44 ON 06 DEC 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 6 Dec 2006 'VOL 145 ISS 24 FILE LAST UPDATED: 5 Dec 2006 (20061205/ED)

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http://www.cas.org/infopolicy.html

=> S L10

L11

61 L10

=> s l11 and amorph? 268712 AMORPH? L12 4 L11 AND AMORPH?

=> d l12 1-4 ibib abs hitstr

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1224322 CAPLUS DOCUMENT NUMBER: 143:483095

TITLE:

INVENTOR(S):

Preparation of amorphous ziprasidone hydrochloride Zetina-Rocha, Carloss Rey, Allan W., Buck, Hatthew A., Derdour, Lotfi; Horne, Stephen E.; Murthy, Keshava K.

S. Apotex Pharmachem Inc., Can. U.S. Pat. Appl. Publ., 6 pp. CODEN: USXXCO Patent English 1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO.

US 2005256139
CA 2467538
W0 2005111032
W: AE, AG, AL,
CN. CO, CR,
GE, GH, GH,
LK, LR, LS,
NO, NZ, CM,
TJ, TH, TN,
RW: BW, GH, CM,
AZ, BY, KG,
EE, ES, FI,
SN, TD, TG
PRIORITY APPLN. INFO::
GI 20040707 20040514 20040707 BZ, CA, CH, FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW, AM, ZM, ZW, AM, TR, CZ, DE, DK, FT, RO, SE, ML, MR, NE, A1 AA A1 AM, AT, CU, CZ, HR, HU, LT, LU, PG, PH, TR, TT, KE, LS, KZ, MD, FR, GB, BF, BJ, 20051117 20051117 20051114 20051124 , AU, AZ, DE, DK, ID, IL, LV, MA, PL, PT, TZ, UA, MW, MZ, RU, TJ, GR, HU, CF, CG, BA, DM, IN, MD, RO, UG, NA, TM, IE, CI,

CA 2004-2467538 A 20040514

The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas.

122883-93-67, Ziprasidone hydrochloride
RE: FRP (Properties), SFN (Synthetic preparation), THU (Therapeutic use),
BIOL (Biological study); PREP (Preparation), USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)

122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperszinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1154548 CAPLUS DOCUMENT NUMBER: 143:427349

DOCUMENT NUMBER: TITLE:

INVENTOR(S):

143:427349
Preparation of amorphous ziprasidone
hydrochloride
Tyagi, Om Dutt; Srivastava, Tushar Kumar; Chavan,
Yuvraj Atmaram
Lupin Limited, India
PCT Int. Appl., 10 pp.
CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE:

English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	NO.		KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
				-									_		
WO 2005	100348		A1		2005	1027		WO 2	005-	IN11	5		2	0050	415
W:	AE, AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG.	ES,	FI.	GB,	GD,
	GE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE.	KG.	KM,	KP.	KR.	KZ,
	LC, LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG.	MK.	MN.	MW,	MX.	MZ.	NA.
	NI, NO,														
	SM, SY,														
	ZM, ZW														
RW:	BW, GH,	GM,	KE,	LS,	MW.	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ, BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH.	CY,	CZ,	DE.	DK,
	EE, ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC.	NL.	PL.	PT,
	RO, SE,	SI,	SK,	TR,	BF.	BJ,	CF,	CG,	CI,	CM,	GA.	GN,	GO.	GW.	ML.
	MR, NE,	SN,	TD,	TG											
PRIORITY APP	LN. INFO	.:						IN 2	004-1	MU45	0	- 4	A 2	0040	415

A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a I solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I. 122883-93-6. Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties), PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)
122883-93-6 CAPLUS

(preparation of amorphous expressions hydrochiotic, 122883-93-6 CAPLUS 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (SCI) (CA INDEX NAME)

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
SOURCE:
CODEN:
PATENT TYPE:
Laboratories Inc.
PCOUNTY TYPE:
LABORAGORY
PATENT HOPMANION:
PATENT INFORMATION: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004050655 A1 20040617 W0 2003-US38489 20031204

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NI, NO, MZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TH, TT, TZ, TZ, UA, UG, US, UZ, VC, VV, NY, UZ, AZ, AM, AZ, ES, FI, GB, GR, HU, IE, IT, LU, MG, NL, PT, RO, SE, SI, SK, FR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GM, ML, MR, SN, TD, TG
AU 2003300814 A1 20040625 US 2003-728837 20031204

PRIORITY APPLM: INFO:: W0 20040805 US 2003-728837 20031204

AB The present invention is related to crystalline forms of ziprasidone and its hydrochloride salt and an amorphous form of the invention are suitable for PATENT NO. KIND DATE APPLICATION NO.

and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chlorockhyl)-6-chlorockindole, 47.5 g 3-(1-piperazinyl)-1,2-benzisothiazole and 500 mL cyclohexane were charged into an autoclave, followed by adding sodium carbonate 46, sodium iodide 3.2, and tetrabutylphosphonium bronde 14.8 g and the reaction mixture was maintained at 95-102' and 2.5 kg/cm2 till the reaction was completed, cooled to 300', treated with 250 mL H2O, filtered to give, after washing with 100 mL water, the wet compound The wet compound was suspended in r.

filtered, washed water, resuspended in acetone, filtered, washed with acetone, filtered, and dried at 60-65° to give 65.7 g ziprasidone base. Ziprasidone (5 g) and 50 mL acetic acid were placed into a round bottom flask and heated to 45-50°, treated slowly with 25 mL aqueous MC1 over 20 min, refluxed, and treated with 10 mL water, followed by

HCl over 20 min, refluxed, and treated with 1 me section, addition of 50 mL isopropanol. The reaction mass was cooled to 50*, followed by distilling off the solvent completely under vacuum., to give amorphous form of ziprasidone hydrochloride.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L12 ANSWER 4 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
139:154927
Pharmaceutical compositions of amorphous
dispersions of drugs and lipophilic microphase-forming
materials
Mark David, Smithey, Daniel Tod
PATENT ASSIGNEE(s):
PATENT ASSIGNEE(s):
FOURCE:
PATENT ASSIGNEE(s):
FOURCE:
PATENT ASSIGNEE(s):
FOURCE:
PATENT ASSIGNEE(s):
FOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO.

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of polymorphic forms of ziprasidone and its hydrochloride)
RN · 122883-93-6 CAPLUS
CN ZH-Indol-2-one, 5-{2-{4-(1,2-benzisothiazol-3-yl)-1-piperazinyl}ethyl]-6chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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---Logging off of STN---

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Executing the logoff script...

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COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION
-3.00 -13.50

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Connection closed by remote host

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NEWS 16
         OCT 23
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                 has been enhanced and reloaded
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         OCT 30
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NEWS 18
         NOV 03
                 JAPIO enhanced with IPC 8 features and functionality
NEWS 19
         NOV 10
                 CA/CAplus F-Term thesaurus enhanced
                 STN Express with Discover! free maintenance release Version
NEWS 20
         NOV 10
                 8.01c now available
         NOV 13
NEWS 21
                 CA/CAplus pre-1967 chemical substance index entries enhanced
                 with preparation role
         NOV 20
NEWS 22
                 CAS Registry Number crossover limit increased to 300,000 in
                 additional databases
NEWS 23
         NOV 20
                 CA/Caplus to MARPAT accession number crossover limit increased
                 to 50,000
NEWS 24
         NOV 20
                 CA/CAplus patent kind codes will be updated
NEWS 25
         DEC 01
                 CAS REGISTRY updated with new ambiguity codes
NEWS EXPRESS
              NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
              Welcome Banner and News Items
NEWS IPC8
              For general information regarding STN implementation of IPC 8
              X.25 communication option no longer available
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http://www.cas.org/infopolicy.html

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Connecting via Winsock to STN

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PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 21:38:11 ON 10 DEC 2006 FILE 'CAPLUS' ENTERED AT 21:38:11 ON 10 DEC 2006 COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS) COST IN U.S. DOLLARS

TOTAL SESSION

ENTRY 0.46

0.67

FULL ESTIMATED COST

=> file reg

=> s 12883-93-6/rn L1 0 12883-93-6/RN

=> d 12

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L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 122883-93-6 REGISTRY
ED Entered STN: 29 Sep 1989
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yi)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)
CTHER NAMES:
CN 5-[2-[4-(1,2-Benzisothiazol-3-yi)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride
CN 788059-1
CN Zeldox
CN Ziprasidone hydrochloride
DR 152287-06-4, 118289-78-4
HF C21 H21 C1 NA 0 S . Cl H
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMICATS, CIN, EMBASE, IMSPATENTS, IMSRESEARCH, MRCK+, PATDPASPC, PHAR, PROMIT, PROMOTR, PS SYNTHLINE, TOXCENTER, USBATZ, USPATFULL
(*File contains numerically searchable property data)
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

61 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
61 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 3.66 4.33

FILE 'CAPLUS' ENTERED AT 21:40:42 ON 10 DEC 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 10 Dec 2006 VOL 145 ISS 25 FILE LAST UPDATED: 8 Dec 2006 (20061208/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 12 and ?morph? 61 L2 1149877 ?MORPH?

L3 10 L2 AND ?MORPH?

=> d 13 1-10 ibib abs hitstr

L3 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
U.S. Pac. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COURT:
FAMILY ACC. NUM. COURT.
FAM

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 2005277651	A1	20051215	US 2004-928139		20040830
US 7087611	B2	20060808			
CA 2471219	AA	20051214	CA 2004-2471219		20040614
PRIORITY APPLN. INFO.:		•	CA 2004-2471219	A	20040614

The anhydrate form of ziprasidone-HCl (I) was prepared from the base in EtOH with addition of HCl in isopropanol.

12283-93-6P, Ziprasidone hydrochloride
RL: FRP (Properties), SYN (Synthetic preparation), THU (Therapeutic use),
BIOL (Biological study), PREF (Preparation), USES (Uses)
(preparation of an anhydrate form of ziprasidone hydrochloride)

12283-93-6 CAPUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

ΙŤ

L3 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
113:483095
ITITLE: Preparation of amorphous ziprasidone hydrochloride
Zetina-Rocha, Carlos; Rey, Allan W., Buck, Matthew A., Derdour, Lotfi; Horne, Stephen E., Murthy, Keshava K. S.
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can. U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent E.MOUAGE: English
FAMILY ACC. NUM. COUNT: English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
						-									-		
US	2005	2561	39		A1		2005	1117		US 2	004-	8849	91		2	0040	707
CA	2467	538			AA		2005	1114		CA 2	004-	2467	538		2	0040	514
WO	2005	1110	32		A1		2005	1124		WO 2	004-	CA98	1		2	0040	707
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	·BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ.	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG.	MK,	MN,	MW,	MX,	MZ,	NA.	NI.
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SÉ,	SG,	SK,	SL.	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC.	VN,	YU.	ZA.	ZM.	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD.	SL,	SZ.	TZ,	UG.	ZM,	ZW.	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT.	BE,	BG.	CH,	CY.	CZ.	DE.	DK.
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT.	LU,	MC.	NL.	PL.	PT.	RO.	SE.
							CF,										
			TD,										-				
DIT	/ APP	T.N	TNEO							CA 2	004-	2467	530		ъ э	0040	614

The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas. 122883-93-6P, Ziprasidone hydrochloride RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of amorphous ziprasidone hydrochloride) 122883-93-6 CAPLUS 2H-Indol-Z-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

REFERENCE COUNT: THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L3 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1216406 CAPLUS
DOCUMENT NUMBER: 143:468204
TITLE: Preparation of a ziprasidone hydrochloride

polymorph Ventimiglia, Gianpiero; Allegrini, Pietro; Castaldi, INVENTOR(S): Graziano Dipharma S.p.A., Italy: Lundbeck Pharmaceuticals Italy PATENT ASSIGNEE(S):

S.p.A.
PCT Int. Appl., 15 pp.
CODEN: PIXXD2
Patent
English
1

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2005108395
Al 20051117
WO 2005-EP52091
20050510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, 6B, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, WM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: EW, GH, GM, KK, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, EE, ES, FI, FR, 6B, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO:

AB A new crystalline form of ziprasidone-HCl hemihydrate, a process for its preparation, its use for the purification of ziprasidone, its use for the purification of ziprasidone, its pharmacoutical compns.

(preparation of ziprasidone hydrochloride
RL: THU (Therapeutic use) BIOL (Biological study); USES (Uses)
(preparation of ziprasidone hydrochloride Polymorph)

RN 12883-93-6 CAPIUS

CN 2H-Indol-2-one, S-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperaziny]ethyl)-6-chloro-1,3-dihydro-, monohydrochloride (SCI) (CA INDEX NAME) A1 2 AM, AT, CU, CZ, HR, HU, LS, LT, NZ, OM, TJ, TM, 20050510 WO 2005108395 20051117

L3 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1154548 CAPLUS

DOCUMENT NUMBER: 113:427349

Freparation of amorphous ziprasidone hydrochloride

Tyagi, Om Dutt: Srivastava, Tushar Kumar; Chavan, Yuvraj Atmaram

Lupin Limited, India

PATENT ASSIGNEE(S): PATENT TYPE: Patent INTERE PIXMOZ

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE WO 2005100348 A1
W: AE, AC, AL, AM,
CN, CO, CR, CU,
GE, GH, GM, HR,
LC, LK, LR, LS,
NI, NO, NZ, OM,
SM, SY, TJ, TM,
ZM, ZW
RW: BW, GH, GM, KE,
AZ, BY, KG, KZ,
EE, ES, FI, FR,
RO, SE, SI, SK,
MR, NE, SN, TD,
PRIORITY APPLN. INFO.: A1 20051027 AM, AT, AU, AZ, CU, CZ, DE, DK, HR, HU, ID, IL, LS, LT, LU, LV, OM, PG, PH, PL, TM, TN, TR, TT, WO 2005-IN115 , BB, BG, BR, E, , DZ, EC, EE, E, , IS, JP, KE, F, , MD, MG, MK, F, , RO, RU, SC, S, , UA, UG, US, U 20050415 BZ, CA, CH, FI, GB, GD, KP, KR, KZ, MX, MZ, NA, SG, SK, SL, VN, YU, ZA, IN115 BR, BW, EE, EG, KE, KG, MK, MN, SC, SD, US, UZ, BA, DM, IN, MA, PT, TZ, BY, ES, KM, MW, SE, VC, LS, MW, MZ, NA, MD, RU, TJ, TM, GB, GR, HU, IE, TR, BF, BJ, CF, TG SD, AT, IS, CG, SL, SZ, TZ, BE, BG, CH, IT, LT, LU, CI, CM, GA, UG, CY, MC, GN, ZM, CZ, NL, GQ,

A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a I solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I. 122883-93-6. Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties), PYP (Physical, process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)
122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:58956 CAPLUS
143:103263
INVENTOR(S): 143:103263
INVENTOR(S): Archine, Judith, Hendelovici, Marioara, Koltai, Tamas, Moshkovits-Kapstan, Rinat, Nidam, Tamar
PATENT ASSIGNEE(S): Patent Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent LANGUAGE: PIXXD2
FAMILY ACC. NUM. COUNT: PATENT INFORMATION:
         DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                   PATENT NO.
KIND
                                                                                                                                                                                                                                                                                               DATE
                                                                                                                                                                                                                                                                                                                                                                                                            APPLICATION NO.
                                         ORITY APPLN. INFO.:

US 2003-53124P P 20031218

WO 2004-US43127 W 20041220

A process for the preparation of the polymorphic crystalline form B2 of 5-[2-[4-(3,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl-6-chloro-1,3-dihydro-2H-indol-2-one (ziprasidone base) is presented. Processes for preparing pharmaceutically acceptable salts, particularly ziprasidone hydrochlorides and mesyl salts, are also presented. Processes for preparing-9-6, Ziprasidone hydrochloride
RL: RCT (Reactant), RACT (Reactant or reagent)
(preparation of polymorphic crystalline form B2 of ziprasidone base)
122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monchydrochloride (9CI) (CA INDEX NAME)
L3 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
171TLE:
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2705:160836 CAPLUS
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2705:160836 CAPLUS
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                                                                                                                                                                                                                       AT 20050224 US 2004-860926
A1 200502121 US 2004-860926
A1 20050317 US 2004-860986
A2 20050421 CA 2004-2528100
A1 20050421 WO 2004-US18018
AM, AT, AU, AZ, BA, BB, BG, BR, BW, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, HR, HU, ID, IL, IN, IS, JP, KE, KG, LT, LU, LV, MA, MD, MG, MK, MN, MW, PG, PH, PL, PT, RO, RU, SC, SD, SE, TR, TT, TZ, UA, UG, US, UZ, VC, VN, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, MU, TJ, TM, AT, BE, BG, CH, FR, GB, GR, HU, IE, IT, LU, MC, NL, FR, GB, GR, HU, IE, IT, LU, MC, NL, FR, GB, GR, HU, IE, IT, LU, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
                                                PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           DATE
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, HL, MR, I
                                              US 2005043324
US 2005059680
CA 2528100
WO 2005035531
W: AE, AG
WO 200503531

W: AE, AG, AL,
CN, CO, CR,
GE, GH, GH,
LK, LR, LS,
NO, NZ, OH,
TJ, TM, TN,
RW: BW, GH, GH,
AZ, BY, KG,
EE, ES, FI,
S1, SX, TN,
EP 1546146
R: AT, BE, CH,
PRIORITY APPLN. INFO::
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              BY,
ES,
KP,
MX,
SG,
YU,
UG,
CY,
PL,
GW,
                                         S1, SK, TR, BF, BJ, CF, CC, CT, CH, GA, GR, GQ, GW, HL, MR, NE, SN, TD, TO

EP 1546146 A 20050629 EP 2004-754586
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

CRITY APPLN. INFO:

US 2003-487913P P 20030603
US 2003-487910P P 20030716
US 2003-528346P P 200310813
US 2004-57197P P 20040517
US 2004-571997P P 20040517
VO 2004-US18018 W 20040603

Provided are various polymorphic forms of ziprasidone HCl and processes for their preparation The crystalline form of ziprasidone HCl is characterized by a powder X-ray diffraction pattern. The present invention provides a process for preparing ziprasidone HCl Form E, rising
                                         Invention provides a process for preparing ziprasidone HC1 rorm s, rising aqueous HC1 with ziprasidone base in the presence of Et acetate or acetonitrile to obtain a slurry; maintaining the slurry to obtain ziprasidone HC1; and recovering the ziprasidone HC1.
12283-93-6P, Ziprasidone hydrochloride
RL: BFN (Riosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(polymorphic forms of ziprasidone HC1 and processes for their preparation)
122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperaziny]]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)
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L3 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171LE:
INVENTOR(S):
PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:
LANGUAGE:
PATENT TYPE:
PARTELLY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT TYPE:
PATEN
                                                                   DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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L3 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2004:493702 CAPLUS DOCUMENT NUMBER: 141:54361
DOCUMENT NUMBER:
TITLE:
                                                         Polymorphic forms of ziprasidone and its hydrochloride
                                                        hydrochloride
Reddy, Manne Satyanarayana; Srinivasan, Thirumalai
Rajan; Uppala, Yenka Bhaskara Rao; Venkatesh, Mummadi;
Prabhakar, Akundi Surya
Reddy's Laboratories Limited, India; Reddy's
Laboratories Inc.
PCT Int. Appl., 26 pp.
CODEN: PIXXD2
Parent
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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1	PATENT				KIN	D	DATE			APPL					D.	ATE		
,	WO 2004	0506			A1	-	2004	0617	i	WO 2		 US38			2	0031	204	
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR.	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
		NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	υz,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	·CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	AU 2003	3008	14		A1		2004	0623	- 1	AU 2	003-	3008	14		2	0031	204	
	US 2004	1527	11		A1		2004	0805	1	US 2	003-	7298	37		2	0031	204	
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hydrochloride salt and an amorphous form of ziprasidone hydrochloride and the process for the preparation thereof. The crystalline

and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chlorochyl)-6-chlorochindole, 47.5 g 3-(1-piperazinyl)-1,2-benzisothiazole and 500 mL cyclohexane were charged into an autoclave, followed by adding soddium carbonate 46, soddium iodide 3.2, and tetrabutylphosphonium bromide 14.8 g and the reaction mixture was maintained at 95-102' and 2.5 kg/cm2 till the reaction was completed, cooled to 300', treated with 250 mL H2O, filtered to give, after washing with 100 mL water, the wet compound The wet compound was suspended in the state of the sta

water, washed water, resuspended in acetone, filtered, washed with acetone, filtered, and dried at 60-65° to give 65.7 g ziprasidone base. Ziprasidone (5 g) and 50 mL acetoc acid were placed into a round bottom flask and heated to 45-50°, treated slowly with 25 mL aqueous HCl over 20 min, refluxed, and treated with 10 mL water, followed by

tion of 50 mL isopropanol. The reaction mass was cooled to 50°, followed by distilling off the solvent completely under vacuum., to give amorphous form of ziprasidone hydrochloride. 12283-93-6P, Ziprasidone hydrochloride RL: PAC (Pharamcological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES

ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

Provided are crystalline ziprasidone (I)-HCl and processes for its

AB Provided are crystalline ziprasidone (1)-HC1 and processes 101 115

Crystal forms of 1-HC1 were prepared from solvents such as toluene,
chlorobenzene-methanol, di-Et carbonate, acetonitrile, and others.

IT 122883-93-6, Ziprasidone hydrochloride
RL: FMU (Formation, unclassified): PEP (Physical, engineering or chemical
process): PRP (Properties): PYP (Physical process): FORM (Formation,
nonpreparative): PRDC (Process)

(crystalline forms of ziprasidone HC1)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-[1,2-benzisothiazol-3-y1)-1-piperaziny1]ethy1]-6chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(prepn. of polymorphic forms of ziprasidone and its hydrochloride)

12283-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, monohydrochloride (9C1) (CA INDEX NAME)

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

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L3 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
139:154927
Pharmaceutical compositions of amorphous
dispersions of drugs and lipophilic microphase-forming
materials
INVENTOR(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
PATENT ASSIGNEE(S):
PATENT PRODUCTS INC., USA
POT Int. Appl., 89 pp.
CODEN: PIXXD2
PATENT
     DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                   Patent
English
PATENT NO.
                                                                                                                                    KIND
                                                                                                                                                                    DATE
                                                                                                                                                                                                                                  APPLICATION NO.
                             a spray-dryer, by using nitrogen as the drying gas, maintained at a crature of 137° at the inlet; the drying gas and evaporated solvent exited the drier at 48°. The resulting solid amorphous dispersion was collected and then dried in a solvent tray-drier by spreading the spray-dried particles onto polyethylene-lined trays to a depth of not more than 1 cm and then drying them at 40° for 25 h. After drying, dispersion 1 contained 25 wt% drug, 122883-93-6, Ziprasidone hydrochloride RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. of amorphous dispersions of drugs and lipophilic microphase-forming materials) 122883-93-6 CAPLUS
      L3 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1999:355752 CAPLUS DOCUMENT NUMBER: 131:719
       DOCUMENT NUMBER:
                                                                                                                                     A covalent conjugate of clozapine with a fatty acid
      TITLE:
                                                                                                                                   A covalent conjugate or clotapine with a fatty acid and its use for treating schizophrenia Bradley, Matthews O.; Shashoua, Victor E.; Swindell, Charles S.; Webb, Nigel L. Neuromedica, Inc., USA PCT Int. Appl., 31 pp. CODEN: PIXMD2
      INVENTOR (S):
      PATENT ASSIGNEE(S):
       DOCUMENT TYPE:
                                                                                                                                     Patent
                                                                                                                                     English
       FAMILY ACC. NUM. COUNT:
       PATENT INFORMATION:
                              PATENT NO.
                                                                                                                                     KIND
                                                                                                                                                                 DATE
                                                                                                                                                                                                                                    APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                         DATE
                             W: AU, CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE
US 6197764
B1 20010306
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JE. CH, CY, ...

B1 2001030-
AA 19990603 Ca
A1 19990615 AU 1999-..
B2 20020502
A1 20001018 EP 1998-957987
B1 20050525
B1 20050525
T2 20011127 JP 2000-521862
E 20050615 AT 1998-957987
T3 20051201 ES 1998-957987
US 1997-978541
WO 1998-US24412
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                               EP 1044023
                              R: AT, BE
JP 2001523732
AT 296116
ES 2244098
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      PRIORITY APPLN. INFO.:
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                          Wo 1998-USZ4412 W 19981116
The invention provides compns. that include conjugates of a fatty acid
nol., preferably cis-docosahexaenoic acid, and clozapine. The conjugates
are useful in treating psychol. disorders such as schizophrenia.
Docosahexaenoic acid-clozapine (preparation given) was at least six times
longer-acting than clozapine against locomotor behavioral arousal in rats
treated with R(-) apomorphine.
122893-93-6, Ziprasidone hydrochloride
RL: THU (Thrapeutic use) BIOL (Biological study), USES (Uses)
(pharmaceutical further containing, clozapine conjugate with fatty acid
      ΙT
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treating schizophrenia)
122883-93-6 CAPLUS
2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-y1)-1-piperazinyl]ethyl]-6chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

for

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT

ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT